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=> file caplus
FILE 'CAPLUS' ENTERED AT 16:11:18 ON 03 NOV 2004
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FILE COVERS 1907 - 3 Nov 2004  VOL 141 ISS 19
FILE LAST UPDATED: 2 Nov 2004  (20041102/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

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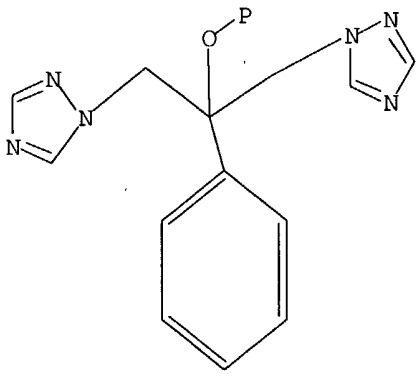


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L4             7 SEA FILE=CAPLUS L3


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L14 NOT FOUND

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L4   ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:560128  CAPLUS
DOCUMENT NUMBER:          141:150515
TITLE:                    The effects of renal impairment on the
                          pharmacokinetics and safety of fosfluconazole and
                          fluconazole following a single intravenous bolus
                          injection of fosfluconazole
```

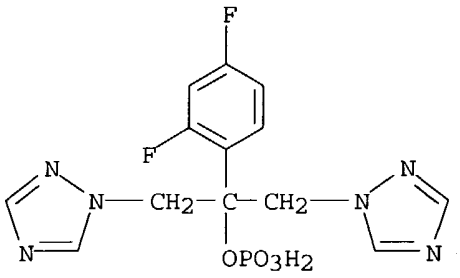
AUTHOR(S): Sobue, Satoshi; Tan, Keith; Layton, Gary; Leclerc, Violette; Weil, Angelika
CORPORATE SOURCE: Clinical Pharmacology, Pfizer Global R+D, Tokyo Laboratories, Pfizer Japan Inc., Tokyo, Japan
SOURCE: British Journal of Clinical Pharmacology (2004), 57(6), 773-784
CODEN: BCPHBM; ISSN: 0306-5251
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fosfluconazole is a phosphate prodrug of fluconazole (FLCZ). This study was conducted to investigate the effect of renal impairment on the pharmacokinetics of fosfluconazole and FLCZ, and to assess the safety and toleration of fosfluconazole following a single i.v. bolus injection of fosfluconazole in subjects with normal and impaired renal function. In an open, parallel-group, two-center study, subjects with normal and impaired renal function received a single 1000-mg bolus i.v. injection of fosfluconazole. Subjects were categorized as Normal (> 80 mL min-1), Mild (51-80 mL min-1), Moderate (30-50 mL min-1) or Severe (< 30 mL min-1) impairment group according to their Cockcroft and Gault creatinine clearance (CLcr) values. Concns. of fosfluconazole and FLCZ were determined in plasma and urine samples taken up to 240 h and 48 h postdose, resp. Fosfluconazole plasma concns. were very similar across the four groups, and there was no apparent relationship between any of the fosfluconazole pharmacokinetic parameters with increasing renal impairment. The conversion of fosfluconazole to FLCZ was unaffected by the degree of renal impairment. Only small amts. of fosfluconazole were excreted in the urine suggesting almost complete conversion to FLCZ. FLCZ concns. were still detected in plasma after 240 h postdose and remained higher at the later sampling times in subjects in the Moderate and Severe groups. The area under the plasma concentration vs. time curve between time zero and infinity (AUC), the terminal elimination phase half-life (t1/2) and the mean residence time (MRT) of FLCZ all increased with the degree of renal impairment. The ratios (95% confidence interval) for AUC (Renal impairment group/Normal group) were 112.8% (89.5, 142.1), 240.6% (128.2, 451.4) and 355.1% (259.3, 486.3) for the Mild, Moderate and Severe impairment groups, resp. There was a linear relationship between CLcr with AUC, t1/2, MRT and the total plasma clearance of FLCZ (CL/F). Both the amount excreted over 48 h in the urine and the renal clearance of FLCZ decreased with an increase in renal impairment. The adverse events reported were mild to moderate in intensity, and there was no observed relationship with impairment group. There were no severe or serious adverse events, and in general fosfluconazole was well tolerated.

IT **194798-83-9**, Fosfluconazole
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of renal impairment on the pharmacokinetics and safety of fosfluconazole and fluconazole)

RN 194798-83-9 CAPLUS

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)

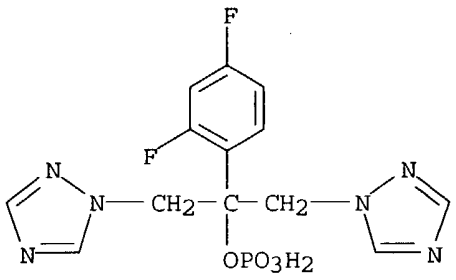


REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:543525 CAPLUS
DOCUMENT NUMBER: 141:218070
TITLE: Nonclinical studies and clinical studies on fosfluconazole, a triazole antifungal agent
AUTHOR(S): Kawakami, Yutaka; Nagino, Kenji; Shinkai, Keisuke; Sobue, Satoshi; Abe, Masaaki; Ishiko, Junichi
CORPORATE SOURCE: Pfizer Global R & D, Tokyo Lab., Pfizer Japan Inc., Tokyo, 151-8589, Japan
SOURCE: Nippon Yakurigaku Zasshi (2004), 124(1), 41-51
CODEN: NYKZAU; ISSN: 0015-5691
PUBLISHER: Nippon Yakuri Gakkai
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese

AB A review. Fosfluconazole is a phosphate prodrug of fluconazole that has been developed to reduce the volume of fluid required to administer fluconazole by the i.v. route. Fosfluconazole is hydrolyzed by alkaline phosphatase to fluconazole and phosphoric acid. Fosfluconazole had no significant antifungal activity in vitro. However, in rat models of acute systemic candidiasis and intracranial cryptococcosis, fosfluconazole retained the antifungal potency and efficacy of fluconazole. This reflects the effective conversion of the prodrug to the parent during the course of the expts. The 2-day-loading dose regimen led to earlier achievement of target fluconazole steady state plasma concns. compared to use of the 1-day- or no-loading dose regimen of fosfluconazole. The efficacy and safety of fosfluconazole were investigated with the 2-day-loading dose regimen in patients with deep-seated mycosis caused by Candida and Cryptococcus species. The efficacy rates were 73.8% in the domestic Phase III study and 91.7% in the foreign Phase III study. Adverse events were observed in 31 cases (19.4%) out of 160 in both studies. These results indicate that fosfluconazole is effective for the treatment of deep-seated mycosis and shows no clin. significant adverse events in the Phase III studies.

IT **194798-83-9**, Fosfluconazole
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Prodif; effect of fosfluconazole, triazole antifungal agent)
RN 194798-83-9 CAPLUS
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



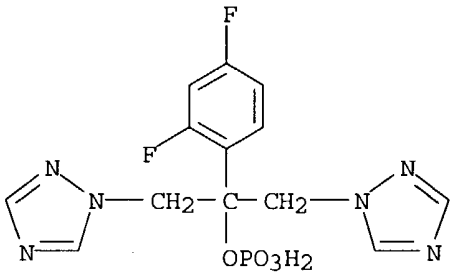
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:260569 CAPLUS
DOCUMENT NUMBER: 141:81400
TITLE: Fosfluconazole
AUTHOR(S): Aikawa, Naoki
CORPORATE SOURCE: Hosp., Keio Univ., Japan
SOURCE: Rinsho to Yakubutsu Chiryo (2004), 23(3), 271-273
CODEN: RYCHEI; ISSN: 0913-7505
PUBLISHER: Eruzebia, Japan K.K.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese

AB A review, with 6 refs., on the clin. efficacy and safety of title phosphated prodrug of fluconazole (A), in mycosis by comparing its efficacy with that of A.

IT **194798-83-9**, Fosfluconazole
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clin. efficacy and safety of fosfluconazole, a phosphated prodrug of fluconazole in mycosis)

RN 194798-83-9 CAPLUS

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:255646 CAPLUS
DOCUMENT NUMBER: 141:270938
TITLE: Pharmacokinetics and safety of fosfluconazole after single intravenous bolus injection in healthy male Japanese volunteers
AUTHOR(S): Sobue, Satoshi; Sekiguchi, Kaneo; Shimatani, Katsuyoshi; Tan, Keith
CORPORATE SOURCE: Pfizer Global R+D, Tokyo Laboratories, Pfizer Japan, Inc., Tokyo, Japan

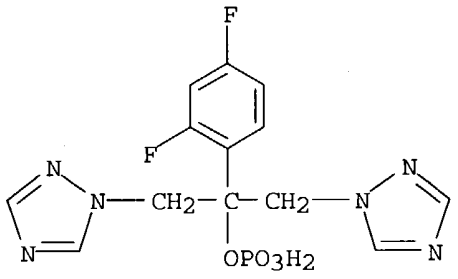
SOURCE: Journal of Clinical Pharmacology (2004), 44(3), 284-292
CODEN: JCPCBR; ISSN: 0091-2700
PUBLISHER: Sage Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This was a single blind, placebo-controlled, escalating single-dose, three-period crossover study using two subject cohorts to investigate the safety, tolerability, and pharmacokinetics in healthy male Japanese subjects after i.v. bolus injection of fosfluconazole 50 to 2000 mg, a phosphate prodrug of fluconazole (FLCZ). Fosfluconazole was rapidly converted to FLCZ with only minor amts. excreted in the urine (less than 4% of the dose). Fosfluconazole had a volume of distribution at the higher doses, which was similar to the extracellular volume in man (0.2 L/kg) and was eliminated with a terminal half-life of 1.5 to 2.5 h. There was apparent dose proportionality in FLCZ pharmacokinetics. Cmax and AUC of FLCZ appeared to increase proportionally with increasing doses of fosfluconazole. There were no apparent dose-dependent trends in tmax, t1/2, or mean residence time (MRT) of FLCZ. Bolus injection of fosfluconazole was well tolerated at doses of up to 2000 mg in healthy Japanese subjects.

IT **194798-83-9**, Fosfluconazole
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(single IV bolus injection of phosphate prodrug of FLCZ, fosfluconazole was safe and well tolerated and there was apparent dose proportionality in FLCZ pharmacokinectics in healthy male japanese volunteer)

RN 194798-83-9 CAPLUS

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:39480 CAPLUS
DOCUMENT NUMBER: 140:99592
TITLE: Process for controlling the hydrate mix of a compound
INVENTOR(S): Auffret, Anthony David; Fitzgerald, Michael Paul
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004007689	A1	20040115	US 2003-601355	20030623
WO 2004007507	A1	20040122	WO 2003-IB3119	20030707

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

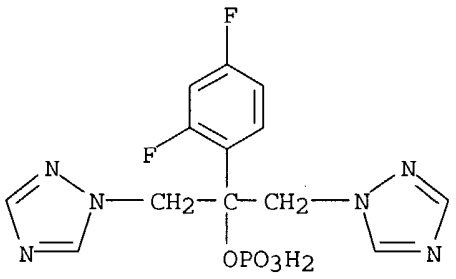
PRIORITY APPLN. INFO.: GB 2002-16515 A 20020706
US 2002-399491P P 20020729

AB This invention relates to a process for controlling the hydrate mix of a compound, or a composition comprising the compound, the compound being capable of forming a plurality of hydration forms of differing stability and also of dissoln. to give a solution that, when frozen below the eutectic point, is a eutectic mixture This invention further relates to disodium salt of fosfluconazole in the form of its trihydrate, its hexahydrate, or as a mixture of tri- and hexahydrates.

IT **194798-83-9**, Fosfluconazole
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(stable hydrate forms of fosfluconazole)

RN 194798-83-9 CAPLUS

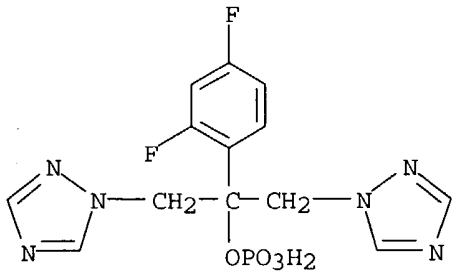
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



IT **643013-68-7P 643013-69-8P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stable hydrate forms of fosfluconazole)

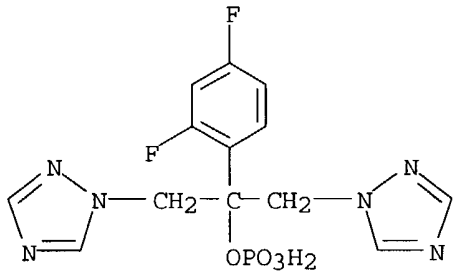
RN 643013-68-7 CAPLUS

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), hexahydrate (9CI) (CA INDEX NAME)



●6 H_2O

RN 643013-69-8 CAPLUS
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), trihydrate (9CI) (CA INDEX NAME)



●3 H_2O

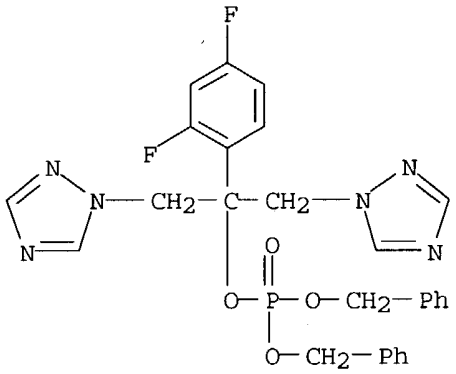
L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:909509 CAPLUS
DOCUMENT NUMBER: 136:185745
TITLE: The Discovery and Process Development of a Commercial Route to a Water Soluble Prodrug, Fosfluconazole
AUTHOR(S): Bentley, Arthur; Butters, Michael; Green, Stuart P.; Learmonth, William J.; MacRae, Julie A.; Morland, Matthew C.; O'Connor, Garry; Skuse, Joanne
CORPORATE SOURCE: Department of Chemical Research and Development, Pfizer Global Research and Development Laboratories, Kent, CT13 9NJ, UK
SOURCE: Organic Process Research & Development (2002), 6(2), 109-112
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A case history detailing the rationale behind the discovery of 2-(2,4-difluorophenyl)-1,3-bis(1H-1,2,4-triazole-1-yl)-2-Pr dihydrogen phosphate, fosfluconazole (2), a water-soluble prodrug of Diflucan, and the subsequent development of a com. route is presented. Particular items to note are (i) that this compound was discovered in the Chemical Research and

Development Department, hence Chemical Research and Development can play a key role in prodrug discovery, (ii) the strategy behind the selection of phosphate ester promoiety, by phosphorylation of a sterically hindered tertiary alc., (iii) the development of the initial route to remove thermally hazardous reagents and to improve processing to allow scale-up, and (iv) the identification and development of the proposed com. process.

IT **194602-25-0P**, Dibenzyl 2-(2,4-difluorophenyl)-1,3-bis(1H-1,2,4-triazole-1-yl)-2-propyl phosphate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; in manufacture process of water soluble prodrug fosfluconazole)

RN 194602-25-0 CAPLUS

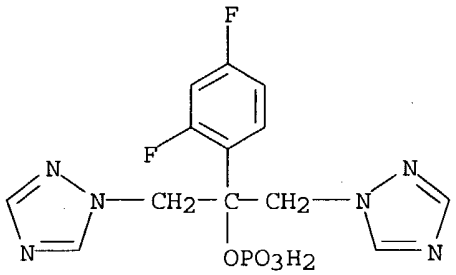
CN Phosphoric acid, 1-(2,4-difluorophenyl)-2-(1H-1,2,4-triazol-1-yl)-1-(1H-1,2,4-triazol-1-ylmethyl)ethyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



IT **194798-83-9P**, Fosfluconazole
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(manufacture process of water soluble prodrug fosfluconazole)

RN 194798-83-9 CAPLUS

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:533656 CAPLUS
DOCUMENT NUMBER: 127:220800
TITLE: Triazole derivatives useful in therapy

INVENTOR(S): Murtiashaw, Charles W.; Stephenson, Peter T.
PATENT ASSIGNEE(S): Pfizer Research and Development Co., UK; Pfizer Inc.; Murtiashaw, Martha, H.; Green, Stuart; Stephenson, Peter T.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728169	A1	19970807	WO 1997-EP445	19970127
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LK, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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CA 2240777	AA	19970807	CA 1997-2240777	19970127
CA 2240777	C	20020611		
AU 9715985	A1	19970822	AU 1997-15985	19970127
AU 709781	B2	19990909		
EP 880533	A1	19981202	EP 1997-902288	19970127
EP 880533	B1	20020612		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI, RO				
JP 10512599	T2	19981202	JP 1997-527312	19970127
JP 2959846	B2	19991006		
CN 1210540	A	19990310	CN 1997-192005	19970127
CN 1085213	B	20020522		
BR 9707257	A	19990406	BR 1997-7257	19970127
RU 2176244	C2	20011127	RU 1998-116435	19970127
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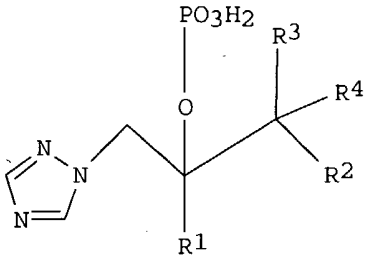
AT 219089	E	20020615	AT 1997-902288	19970127
PT 880533	T	20020930	PT 1997-902288	19970127
ES 2175336	T3	20021116	ES 1997-902288	19970127
SK 283136	B6	20030304	SK 1998-1022	19970127
CZ 291431	B6	20030312	CZ 1998-2420	19970127
PL 187237	B1	20040630	PL 1997-328436	19970127
ZA 9700826	A	19980731	ZA 1997-826	19970131
HR 970063	B1	20011231	HR 1997-970063	19970131
IL 133135	A1	20030706	IL 1998-133135	19980611
BG 63946	B1	20030731	BG 1998-102603	19980706
NO 9803560	A	19980803	NO 1998-3560	19980803
HK 1018217	A1	20021101	HK 1999-103264	19990729
US 2003144250	A1	20030731	US 2003-339087	20030109
US 6790957	B2	20040914		

PRIORITY APPLN. INFO.:

GB 1996-2080	A	19960202
IL 1997-124865	A3	19970127
WO 1997-EP445	W	19970127
US 1999-117175	B1	19990108

OTHER SOURCE(S): MARPAT 127:220800
GI



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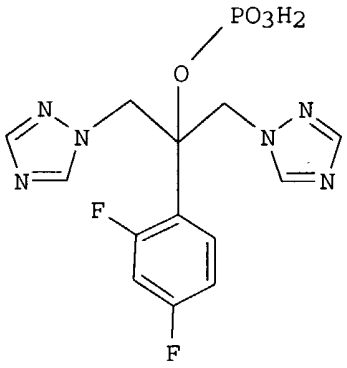


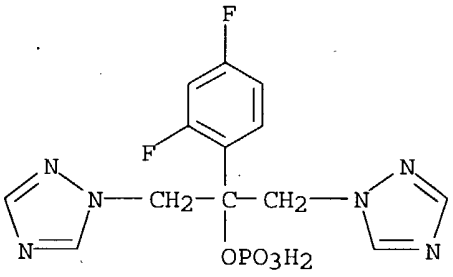
II

AB The preparation of title compds. I (R1 = halo substituted Ph; R2 = 5- or 6-membered nitrogen-containing heterocyclic ring which is optionally substituted by one or more groups selected from halo-, double bond O, substituted Ph; R3 = H, Me; R4 = H; R3R4 = CH2, etc.) or pharmaceutically acceptable salt thereof, useful as fungicide, is described. Thus, phosphorylation of fluconazole with dibenzyl diisopropyl phosphoramidite in the presence of 1H-tetrazole in CH2Cl2 followed by oxidation with 3-chloroperoxybenzoic acid and catalytic debenzylation gave title compound II. The solubility of disodium salt of II was > 150 in comparison to parent compound Aqueous formulation of II for i.v. injection is described. The compds. of the invention are useful in the treatment of fungal infections, and have good aqueous solubility

IT **194798-85-1P 194798-89-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and fungicidal activity of)

RN 194798-85-1 CAPLUS

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), disodium salt (9CI) (CA INDEX NAME)



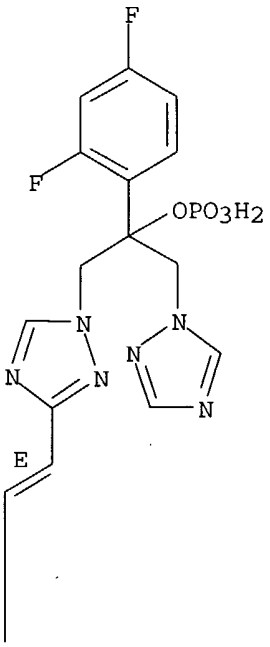
●2 Na

RN 194798-89-5 CAPLUS

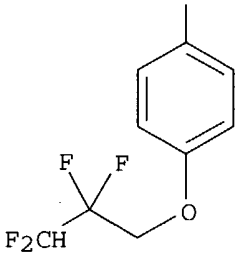
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-3-[2-[4-(2,2,3,3-tetrafluoropropoxy)phenyl]ethenyl]-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



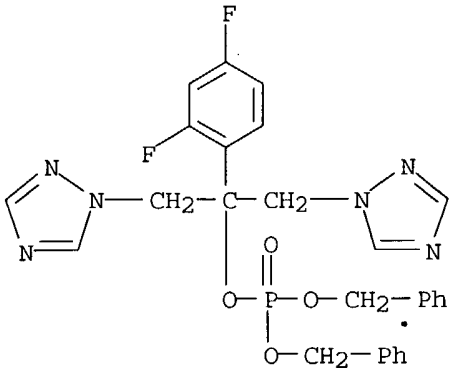
PAGE 2-A



IT **194602-25-0P 194798-95-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phosphorylated triazole derivs. for treatment of fungal infections)

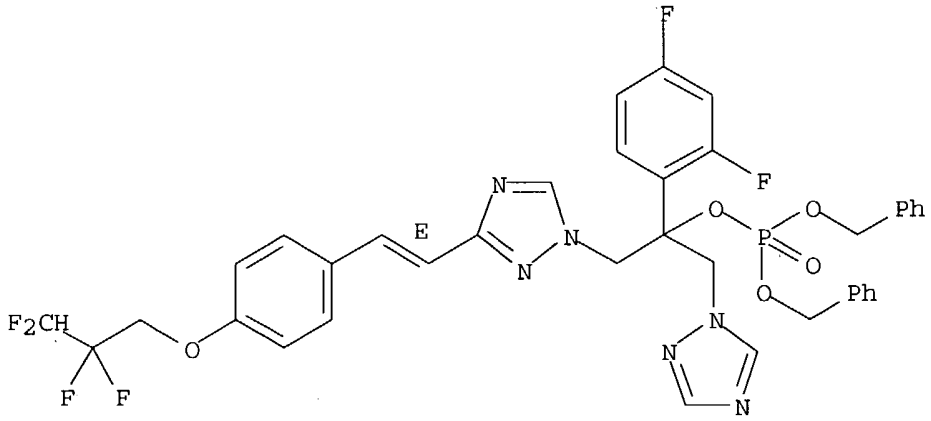
RN 194602-25-0 CAPLUS

CN Phosphoric acid, 1-(2,4-difluorophenyl)-2-(1H-1,2,4-triazol-1-yl)-1-(1H-1,2,4-triazol-1-ylmethyl)ethyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

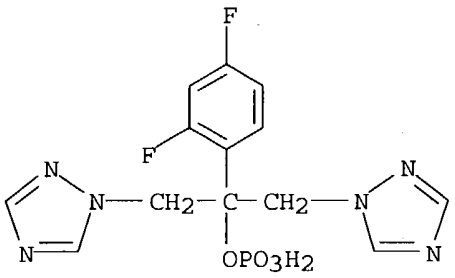


RN 194798-95-3 CAPLUS
CN Phosphoric acid, 1-(2,4-difluorophenyl)-1-[[3-[2-[4-(2,2,3,3-tetrafluoropropoxy)phenyl]ethenyl]-1H-1,2,4-triazol-1-yl]methyl]-2-(1H-1,2,4-triazol-1-yl)ethyl bis(phenylmethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **194798-83-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation, salt formation, and fungicidal activity of)
RN 194798-83-9 CAPLUS
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:12:44 ON 03 NOV 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que
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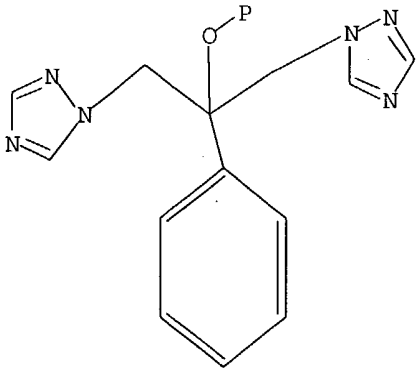

Structure attributes must be viewed using STN Express query preparation.
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L5 3 SEA L3

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L5 ANSWER 1 OF 3 USPATFULL on STN
ACCESSION NUMBER: 2004:11183 USPATFULL
TITLE: Process for controlling the hydrate mix of a compound
INVENTOR(S): Auffret, Anthony David, Sandwich, UNITED KINGDOM
Fitzgerald, Michael Paul, Sandwich, UNITED KINGDOM
PATENT ASSIGNEE(S): Pfizer Inc. (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004007689	A1	20040115	
APPLICATION INFO.:	US 2003-601355	A1	20030623	(10)

	NUMBER	DATE

PRIORITY INFORMATION: GB 2002-16515 20020706
US 2002-399491P 20020729 (60)
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: AGOURON PHARMACEUTICALS, INC., 10350 NORTH TORREY PINES ROAD, LA JOLLA, CA, 92037
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Page(s)
LINE COUNT: 575

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

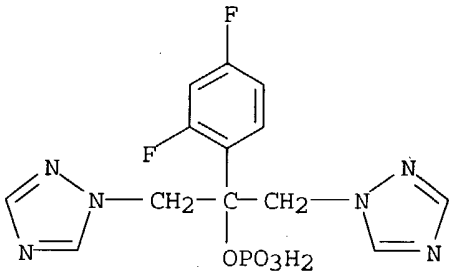
AB This invention relates to a process for controlling the hydrate mix of a compound, or a composition comprising the compound, the compound being capable of forming a plurality of hydration forms of differing stability and also of dissolution to give a solution that, when frozen below the eutectic point, is a eutectic mixture. This invention further relates to disodium salt of fosfluconazole in the form of its trihydrate, its hexahydrate, or as a mixture of tri- and hexahydrates.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **194798-83-9**, Fosfluconazole
(stable hydrate forms of fosfluconazole)

RN 194798-83-9 USPATFULL

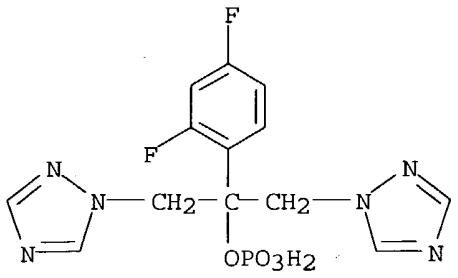
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



IT **643013-68-7P 643013-69-8P**
(stable hydrate forms of fosfluconazole)

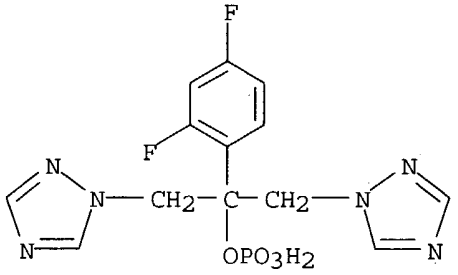
RN 643013-68-7 USPATFULL

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), hexahydrate (9CI) (CA INDEX NAME)



●6 H_2O

RN 643013-69-8 USPATFULL
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), trihydrate (9CI) (CA INDEX NAME)



●3 H_2O

L5 ANSWER 2 OF 3 USPATFULL on STN
ACCESSION NUMBER: 2003:207889 USPATFULL
TITLE: Triazole derivatives useful in therapy
INVENTOR(S): Green, Stuart, Sandwich, UNITED KINGDOM
Stephenson, Peter T., Sandwich, UNITED KINGDOM
Murtiashaw, Charles W., North Stonington, CT, UNITED STATES
Murtiashaw, Martha, North Stonington, CT, UNITED STATES
LR

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003144250	A1	20030731
	US 6790957	B2	20040914
APPLICATION INFO.:	US 2003-339087	A1	20030109 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-117175, filed on 8 Jan 1999, ABANDONED A 371 of International Ser. No. WO 1997-EP445, filed on 27 Jan 1997, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-2080	19960202

10/810,100

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: AGOURON PHARMACEUTICALS, INC., 10350 NORTH TORREY PINES ROAD, LA JOLLA, CA, 92037
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 823
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of formula 1,

R.sup.1--OP(O)(OH).sub.2 I

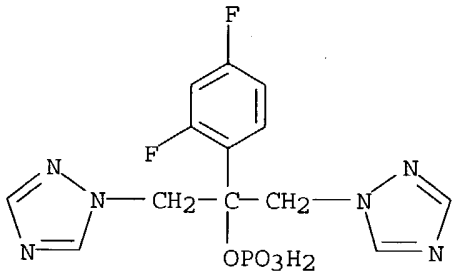
wherein R.sup.1 represents the non-hydroxy portion of a triazole antifungal compound of the type comprising a tertiary hydroxy group; or a pharmaceutically acceptable salt thereof.

The compounds of the invention are useful in the treatment of fungal infections, and have good aqueous solubility.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **194798-85-1P 194798-89-5P**
(preparation and fungicidal activity of)

RN 194798-85-1 USPATFULL
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), disodium salt (9CI) (CA INDEX NAME)

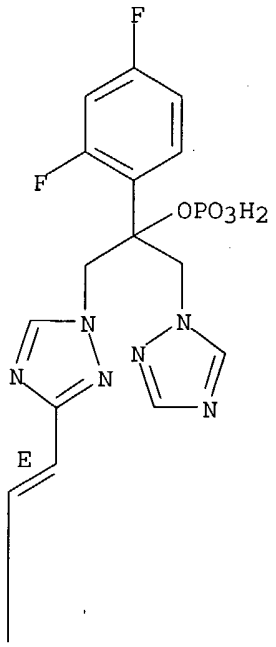


●2 Na

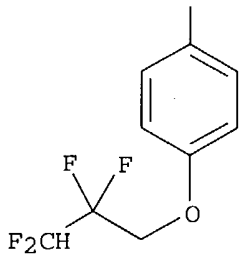
RN 194798-89-5 USPATFULL
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-3-[2-[4-(2,2,3,3-tetrafluoropropoxy)phenyl]ethenyl]-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



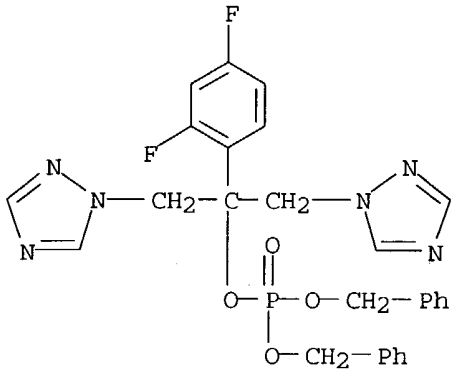
PAGE 2-A



IT **194602-25-0P 194798-95-3P**
(preparation of phosphorylated triazole derivs. for treatment of fungal infections)

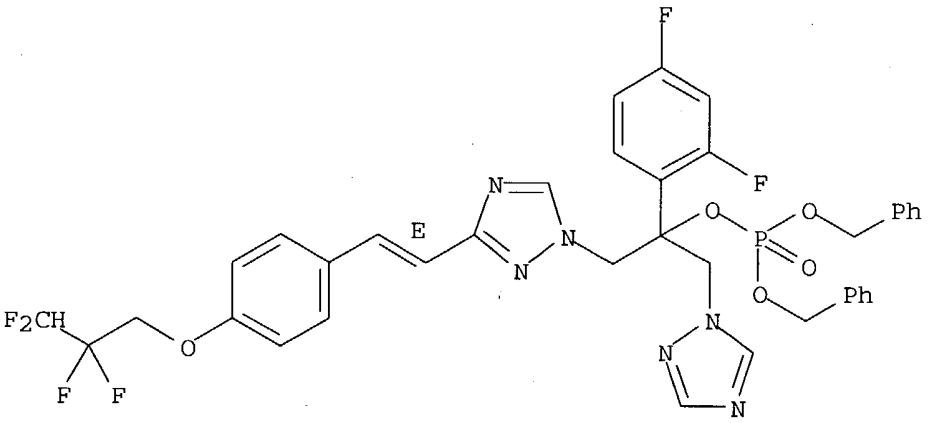
RN 194602-25-0 USPATFULL

CN Phosphoric acid, 1-(2,4-difluorophenyl)-2-(1H-1,2,4-triazol-1-yl)-1-(1H-1,2,4-triazol-1-ylmethyl)ethyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

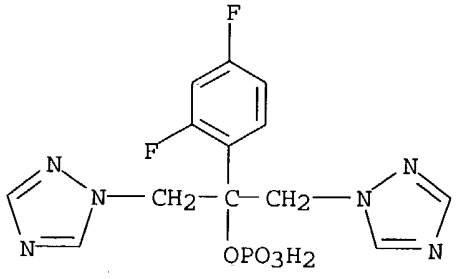


RN 194798-95-3 USPATFULL
CN Phosphoric acid, 1-(2,4-difluorophenyl)-1-[[3-[2-[4-(2,2,3,3-tetrafluoropropoxy)phenyl]ethenyl]-1H-1,2,4-triazol-1-yl]methyl]-2-(1H-1,2,4-triazol-1-yl)ethyl bis(phenylmethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **194798-83-9P**
(preparation, salt formation, and fungicidal activity of)
RN 194798-83-9 USPATFULL
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 3 USPAT2 on STN

ACCESSION NUMBER:	2003:207889 USPAT2
TITLE:	Triazole derivatives useful in therapy
INVENTOR(S):	Green, Stuart, Sandwich, UNITED KINGDOM Stephenson, Peter T., Sandwich, UNITED KINGDOM Murtiashaw, Charles W., late of North Stonington, CT, United States deceased Martha Murtiashaw, United States administratrix
PATENT ASSIGNEE(S):	Pfizer, Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6790957	B2	20040914
APPLICATION INFO.:	US 2003-339087		20030109 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 117175, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-2080	19960202

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Morris, Patricia L.
LEGAL REPRESENTATIVE:	Richardson, Peter C., Zielinski, Bryan C., Djuardi, Elsa
NUMBER OF CLAIMS:	3
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT:	766

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of formula I,

R.sup.1--OP(O)(OH).sub.2 I

wherein R.sup.1 represents the non-hydroxy portion of a triazole antifungal compound of the type comprising a tertiary hydroxy group; or a pharmaceutically acceptable salt thereof.

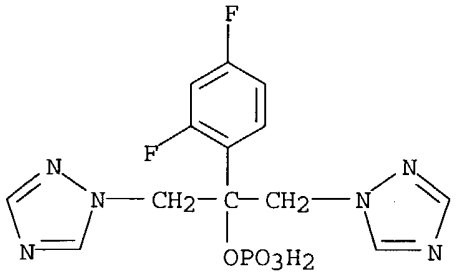
The compounds of the invention are useful in the treatment of fungal infections, and have good aqueous solubility.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **194798-85-1P 194798-89-5P**
(preparation and fungicidal activity of)

RN 194798-85-1 USPAT2

CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), disodium salt (9CI) (CA INDEX NAME)

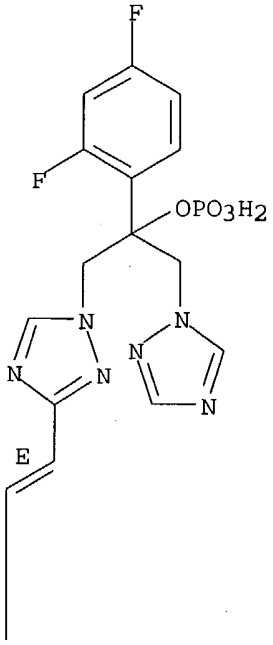


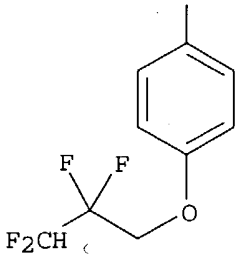
●2 Na

RN 194798-89-5 USPAT2
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-3-[2-[4-(2,2,3,3-tetrafluoropropoxy)phenyl]ethenyl]-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester), (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

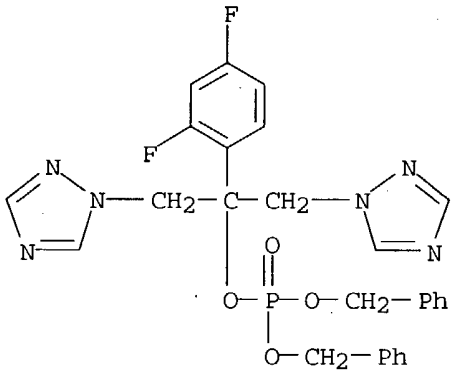




IT **194602-25-0P 194798-95-3P**
(preparation of phosphorylated triazole derivs. for treatment of fungal infections)

RN 194602-25-0 USPAT2

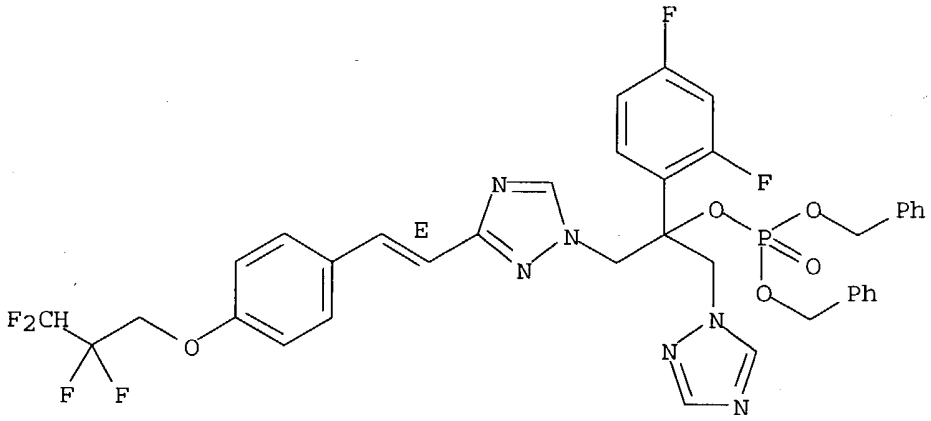
CN Phosphoric acid, 1-(2,4-difluorophenyl)-2-(1H-1,2,4-triazol-1-yl)-1-(1H-1,2,4-triazol-1-ylmethyl)ethyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



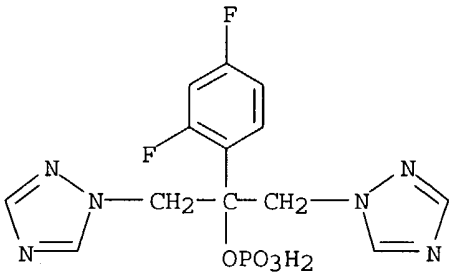
RN 194798-95-3 USPAT2

CN Phosphoric acid, 1-(2,4-difluorophenyl)-1-[[3-[2-[4-(2,2,3,3-tetrafluoropropoxy)phenyl]ethenyl]-1H-1,2,4-triazol-1-yl]methyl]-2-(1H-1,2,4-triazol-1-yl)ethyl bis(phenylmethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **194798-83-9P**
(preparation, salt formation, and fungicidal activity of)
RN 194798-83-9 USPAT2
CN 1H-1,2,4-Triazole-1-ethanol, α-(2,4-difluorophenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



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